Welcome to STN International! Enter x:x

LOGINID:sssptau129pxo

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
* * * * * * * * *
                  Web Page URLs for STN Seminar Schedule - N. America
NEWS
NEWS
                  "Ask CAS" for self-help around the clock
         May 12
                  EXTEND option available in structure searching
NEWS
                 Polymer links for the POLYLINK command completed in REGISTRY
         May 12
NEWS
                 New UPM (Update Code Maximum) field for more efficient patent
NEWS
         May 27
                  SDIs in CAplus
                  CAplus super roles and document types searchable in REGISTRY
         May 27
NEWS
                 Additional enzyme-catalyzed reactions added to CASREACT
NEWS
      7
         Jun 28
                 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
         Jun 28
NEWS
                  and WATER from CSA now available on STN(R)
                  BEILSTEIN enhanced with new display and select options,
         Jul 12
NEWS
                  resulting in a closer connection to BABS
NEWS 10
         Jul 30
                  BEILSTEIN on STN workshop to be held August 24 in conjunction
                  with the 228th ACS National Meeting
                  IFIPAT/IFIUDB/IFICDB reloaded with new search and display
         AUG 02
NEWS 11
                  fields
                  CAplus and CA patent records enhanced with European and Japan
NEWS 12
         AUG 02
                  Patent Office Classifications
                  STN User Update to be held August 22 in conjunction with the
         AUG 02
NEWS 13
                  228th ACS National Meeting
                 The Analysis Edition of STN Express with Discover!
         AUG 02
NEWS 14
                  (Version 7.01 for Windows) now available
                 Pricing for the Save Answers for SciFinder Wizard within
         AUG 04
NEWS 15
                  STN Express with Discover! will change September 1, 2004
                 BIOCOMMERCE: Changes and enhancements to content coverage
NEWS 16 AUG 27
                 BIOTECHABS/BIOTECHDS: Two new display fields added for legal
NEWS 17
         AUG 27
                  status data from INPADOC
                  INPADOC: New family current-awareness alert (SDI) available
NEWS 18
         SEP 01
                 New pricing for the Save Answers for SciFinder Wizard within
         SEP 01
NEWS 19
                  STN Express with Discover!
                 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS 20
         SEP 01
                 STN Patent Forum to be held October 13, 2004, in Iselin, NJ
NEWS 21
         SEP 14
              JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
               STN Operating Hours Plus Help Desk Availability
NEWS HOURS
               General Internet Information
NEWS INTER
NEWS LOGIN
              Welcome Banner and News Items
               Direct Dial and Telecommunication Network Access to STN
NEWS PHONE
NEWS WWW
               CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 12:31:03 ON 20 SEP 2004

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:31:16 ON 20 SEP 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 19 SEP 2004 HIGHEST RN 748118-51-6 DICTIONARY FILE UPDATES: 19 SEP 2004 HIGHEST RN 748118-51-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\759a.str

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR

G1 H,Ak G2 O, N, C

Structure attributes must be viewed using STN Express query preparation.

=> s 11 SAMPLE SEARCH INITIATED 12:31:38 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 8311 TO ITERATE

1000 ITERATIONS 12.0% PROCESSED INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

0 ANSWERS

ONLINE **COMPLETE** FULL FILE PROJECTIONS: BATCH **COMPLETE**

PROJECTED ITERATIONS: PROJECTED ANSWERS:

160757 TO 171683 0 TO

0 SEA SSS SAM L1 L2

=> search 11 ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:. ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET: full FULL SEARCH INITIATED 12:31:48 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 166269 TO ITERATE

100.0% PROCESSED 166269 ITERATIONS

39 ANSWERS

SEARCH TIME: 00.00.10

39 SEA SSS FUL L1 L3

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 155.42 155.63

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 12:32:09 ON 20 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 20 Sep 2004 VOL 141 ISS 13 FILE LAST UPDATED: 19 Sep 2004 (20040919/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 14 L3

=> d 14 fbib ab hitstr 1-14

- L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 2003:767317 CAPLUS
- DN 139:381230
- TI Hydroformylation Reactions with Recyclable Rhodium-Complexed Dendrimers on a Resin
- AU Lu, Shui-Ming; Alper, Howard
- CS Centre for Catalysis Research and Innovation, Department of Chemistry, University of Ottawa, Ottawa, ON, KlN 6N5, Can.
- Journal of the American Chemical Society (2003), 125(43), 13126-13131 CODEN: JACSAT; ISSN: 0002-7863
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 139:381230
- AB Rhodium-complexed dendrimers supported on a resin were evaluated as catalysts for the hydroformylation of aryl olefins and vinyl esters. The results showed the reactions proceeded very efficiently at room temperature with

excellent yields. Outstanding selectivity for the branched aldehydes was also observed in all cases. The dendritic catalysts can be recycled by simple filtration and reused even up to the tenth cycle without loss of activity and selectivity. These results represent a dramatic improvement over those previously described for rhodium-catalyzed (dendrimer and nondendrimer based) hydroformylation reactions.

IT **624735-07-5DP**, resin-bound, reaction products with diphenylphosphine and formaldehyde followed by bis(chlorodicarbonylrhodium)

RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(dendrimer; preparation of recyclable rhodium-complexed dendrimers on resin as catalysts for regioselective hydroformylation of aryl olefins)

RN 624735-07-5 CAPLUS

CN

Glycine, N-[3,5-bis[[(2S)-2,6-bis[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxohexyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

IT 624735-07-5P 624735-09-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of recyclable rhodium-complexed dendrimers on resin as catalysts for regioselective hydroformylation of aryl olefins)

RN 624735-07-5 CAPLUS

CN Glycine, N-[3,5-bis[[(2S)-2,6-bis[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxohexyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

RN 624735-09-7 CAPLUS

CN Glycine, N-[3,5-bis[[(2S)-2,6-bis[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxohexyl]amino]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 2002:960938 CAPLUS
- DN 138:337781
- TI Efficient synthesis of a new potential chelating agent for radioimmunotherapy
- AU Gouin, Sebastien G.; Gestin, Jean-Francois; Remaud, Patricia; Faivre-Chauvet, Alain; Meslin, Jean Claude; Deniaud, David
- CS Laboratoire de Synthese Organique, UMR CNRS 6513, Faculte des Sciences et des Techniques, Nantes, 44072, Fr.
- SO Synlett (2002), (12), 2080-2082

CODEN: SYNLES; ISSN: 0936-5214

PB Georg Thieme Verlag

DT Journal

LA English

OS CASREACT 138:337781

The synthesis of a new rigid analog of cyclohexyl-TTHA, an efficient lanthanide ligand, as well as the first complexation trials are reported. This polyaminopolycarboxylic acid (I) was obtained in five steps from o-phenylenediamine as starting product. The key intermediate was tetramine II, which after alkylation and hydrolysis gave I with ten coordination centers.

IT 518038-50-1P 518038-51-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of polyaminopolycarboxylic acid and its complexation with yttrium)

RN 518038-50-1 CAPLUS

CN Carbamic acid, [1,2-phenylenebis[imino(2-oxo-2,1-ethanediyl)]]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 518038-51-2 CAPLUS

CN Carbamic acid, [1,2-phenylenebis[imino(2-oxo-2,1-ethanediyl)]]bis-, bis(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

```
2002:695943 CAPLUS
AN
     137:216780
DN
     Preparation of aromatic carboxamides as modulators of receptor for
ΤI
     advanced glycated end products (RAGE).
     Mjalli, Adnan M. M.; Andrews, Rob; Wysong, Christopher
IN
     Transtech Pharma, Inc., USA
PΑ
     PCT Int. Appl., 95 pp.
SO
     CODEN: PIXXD2
DT
     Patent
T.A
     English
FAN.CNT 1
                        KIND
                                DATE
                                            APPLICATION NO.
                                                                   DATE
     PATENT NO.
     _____
                        ____
                                -----
                                            _____
                                20020912
                                           WO 2002-US6707
                                                                   20020305
     WO 2002070473
                         A2
PΙ
     WO 2002070473
                         AЗ
                                20021227
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                            US 2001-273403P
                                                             P 20010305
                                            US 2001-273404P
                                                                P 20010305
                                            US 2001-273429P
                                                                Ρ
                                                                   20010305
                                            US 2001-273445P
                                                                Ρ
                                                                   20010305
                                                                Ρ
                                            US 2001-273446P
                                                                   20010305
                                            US 2001-273454P
                                                                Ρ
                                                                   20010305
                                            US 2001-273455P
                                                                P 20010305
                                            US 2002-91759
                                                                   20020305
                                20021219
                         A1
     US 2002193432
                                            US 2001-273403P
                                                               P 20010305
                                            US 2001-273404P
                                                               P 20010305
                                            US 2001-273429P
                                                               P 20010305
                                            US 2001-273445P
                                                                P 20010305
                                            US 2001-273446P
                                                                P 20010305
                                            US 2001-273454P
                                                                P 20010305
                                            US 2001-273455P
                                                                P 20010305
                                20040107
                                            EP 2002-713758
                                                                   20020305
                         A2
     EP 1377295
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                            US 2001-273403P
                                                                Ρ
                                                                   20010305
                                            US 2001-273404P
                                                                Ρ
                                                                   20010305
                                            US 2001-273429P
                                                                Ρ
                                                                   20010305
                                            US 2001-273445P
                                                                Ρ
                                                                   20010305
                                                                   20010305
                                            US 2001-273446P
                                                                Ρ
                                                                Ρ
                                            US 2001-273454P
                                                                   20010305
                                            US 2001-273455P
                                                                Ρ
                                                                   20010305
                                            WO 2002-US6707
                                                                W 20020305
OS
    MARPAT 137:216780
     G2R1R2CG1CONR3R4 [I; G1 = alkylene; G2 = H, alkyl, aryl, alkylaryl, amino,
AB
     (substituted) imidazolyl; R1 = H, alkyl, aryl, alkylaryl; R2 = alkyl,
     aryl, aralkyl, etc.; R3 = H, alkyl, alkylaryl, alkoxyaryl; R4 = alkylaryl,
     alkoxyaryl, aryl], were prepared I are modulators of the interaction
     between the receptor for advanced glycated end products (RAGE) and its
     ligands, such as advanced glycated end products (AGEs),
     S100/calgranulin/EN-RAGE, \beta-amyloid and amphoterin. I are useful in
```

treating inflammation, the development of diabetic late complications such as increased vascular permeability, nephropathy, atherosclerosis, and retinopathy, the development of Alzheimer's disease, erectile dysfunction, and tumor invasion and metastasis. Thus, 3-(3-tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino) propionic acid, HTBU, diisopropylethylamine, and 2,4-bis-(3-diethylaminopropoxy) aniline (preparation given) were stirred overnight in MeCN to give 3-(3-tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino) propionic acid 2,4-bis-(3-diethylaminopropoxy) aniline amide. The latter showed IC50<0.5 μ M for inhibition of binding of RAGE to s100b.

IT 457060-71-8P 457060-72-9P 457060-73-0P 457060-75-2P 457060-76-3P 457060-78-5P 457060-79-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aromatic carboxamides as modulators of receptor for advanced glycated end products (RAGE))

RN 457060-71-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[3-[[2-butoxy-4-[3-(diethylamino)propoxy]phenyl]amino]-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

RN 457060-72-9 CAPLUS

CN Carbamic acid, [2-[[2-butoxy-4-[3-(diethylamino)propoxy]phenyl]amino]-2-oxo-1-(4-piperidinylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 457060-73-0 CAPLUS

CN Carbamic acid, [2-[[2-butoxy-4-[3-(diethylamino)propoxy]phenyl]amino]-2-oxo-1-[[1-(phenylmethyl)-4-piperidinyl]methyl]ethyl]-,
9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

RN 457060-75-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-[[2-butoxy-4-[3-(diethylamino)propoxy]phenyl]amino]-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 457060-76-3 CAPLUS

CN Carbamic acid, [1-[(1-benzoyl-4-piperidinyl)methyl]-2-[[2-butoxy-4-[3-(diethylamino)propoxy]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

RN 457060-78-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[3-[[2-butoxy-4-[3-(diethylamino)propoxy]phenyl]amino]-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 457060-79-6 CAPLUS

CN Carbamic acid, [2-[[2-butoxy-4-[3-(diethylamino)propoxy]phenyl]amino]-2-oxo-1-(3-piperidinylmethyl)ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

- L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 2002:462923 CAPLUS
- DN 137:241208
- TI Introduction of Lanthanide(III) Chelates to Oligopeptides on Solid Phase
- AU Peuralahti, Jari; Hakala, Harri; Mukkala, Veli-Matti; Loman, Kristiina; Hurskainen, Pertti; Mulari, Outi; Hovinen, Jari
- CS PerkinElmer Life Sciences Wallac Oy, Turku, FIN-20101, Finland
- SO Bioconjugate Chemistry (2002), 13(4), 870-875 CODEN: BCCHES; ISSN: 1043-1802
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 137:241208
- AB The synthesis of oligopeptide building blocks for the introduction of nonluminescent and luminescent lanthanide(III) chelates to the oligopeptide structure on the solid phase is described. The oligopeptide conjugates synthesized were used in DELFIA-based receptor binding assay (motilin) as well as in LANCE time-resolved fluorescence quenching assay (caspase-3).
- IT 450374-57-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of nonluminescent and luminescent lanthanide(III) chelates and their incorporation in solid-phase peptide synthesis)

RN 450374-57-9 CAPLUS

CN 3-0xa-6,9,12-triazatetradecan-14-oic acid, 6,9-bis[2-(1,1-dimethylethoxy)-2-oxoethyl]-12-[[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino [phenyl]methyl]-2,2-dimethyl-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:149241 CAPLUS

DN 136:340985

TI A Noncovalent Approach to Antiparallel β -Sheet Formation

AU Zeng, Huaqiang; Yang, Xiaowu; Flowers, Robert A., II; Gong, Bing

CS Department of Chemistry, Natural Sciences Complex, State University of New York, Buffalo, NY, 14260, USA

Journal of the American Chemical Society (2002), 124(12), 2903-2910 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

OS CASREACT 136:340985

Four tripeptide chains, when attached to the same end of a hydrogen-bonded AΒ duplex peptides I·II (R = Me, iso-Bu; Ia has R = Me; Ib has R = iso-Bu; IIa has R = iso-Bu; IIb has R = Me) with the unsym., complementary sequences of ADAA/DADD, have been brought into proximity, leading to the formation of four hybrid duplexes, Ia·IIa, Ia·IIb, Ib·IIa, and Ib·IIb, each of which contains a two-stranded $\beta\text{--sheet}$ segment. The extended conformations of the peptide chains were confirmed by 1D and 2D NMR. The peptide strands stay registered through hydrogen bonding and the β -sheets are stabilized by side chain interactions. Two-dimensional NMR data also indicate that the duplex template prevents further aggregation in the peptide segment. When the peptide chains are attached to the two different termini of the duplex template, NMR studies show the presence of a mixture with no clearly defined conformations. In the absence of the duplex template, the tripeptides are found to associate randomly. Finally, isothermal titration calorimetry studies revealed that the hybrid duplex Ia·IIa was more stable than either the duplex template or the peptides alone.

IT 416899-51-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydrogen-bonded duplex templates with peptide chains that form antiparallel β -sheet-like structures)

RN 416899-51-9 CAPLUS

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:553906 CAPLUS

DN 133:335443

TI Synthesis of model compounds for potential contrast agents containing phosphonate and peptide moieties

AU Shalem, Hutti; Shatzmiller, Shimon; Feit, Ben-Ami

CS School of Chemistry, The Raymond and Beverly Sackler Faculty of Exact Sciences, Tel Aviv University, Ramat Aviv, Tel Aviv-Jaffa, 69978, Israel

SO Perkin 1 (2000), (16), 2831-2837 CODEN: PERKF9

- PB Royal Society of Chemistry
- DT Journal
- LA English
- OS CASREACT 133:335443
- The synthesis of di-Me 2-acetoxy-2-(2,4-diiodo-5-aminophenyl) ethylphosphonate (I) and di-Me 2-acetoxy-2-(2,4,6-triiodo-3,5-diaminophenyl) ethylphosphonate (II) is described. Several amido derivs. III [X = CO(CH2)nCO; n = 0, 2, 4, 6] and peptide derivs. IV (R = Boc-Ala-Ala-, Cbz-Gly-Gly-, Cbz-Leu-Gly-, Cbz-Gly-Ala-, Cbz-Ala-Val-) of these phosphonates were prepared These products are composed of a combination of structural/functional moieties which enable them to be potential nonionic, selective x-ray contrast agents.
- IT 303183-55-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of phosphonates and their peptide derivs. as potential nonionic, selective x-ray contrast agents)

RN 303183-55-3 CAPLUS

CN Carbamic acid, [[5-[1-(acetyloxy)-2-(dimethoxyphosphinyl)ethyl]-4,6-diiodo-1,3-phenylene]bis[imino(2-oxo-2,1-ethanediyl)]]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 2000:535988 CAPLUS
- DN 133:267133
- TI New highly potent dipeptidic growth hormone secretagogues with low molecular weight
- AU Peschke, Bernd; Ankersen, Michael; Hansen, Thomas Kruse; Hansen, Birgit Sehested; Lau, Jesper; Nielsen, Karin Kramer; Raun, Kirsten
- CS Health Care Chemistry, Novo Nordisk A/S, Malov, 2760, Den.
- SO European Journal of Medicinal Chemistry (2000), 35(6), 599-618 CODEN: EJMCA5; ISSN: 0223-5234
- PB Editions Scientifiques et Medicales Elsevier
- DT Journal
- LA English
- AB Based on NN703, low mol. weight growth hormone secretagogues (GHSs) with a reduced number of hydrogen binding sites were designed by removal of the C-terminal amide group. The compds. were highly potent in combination with high efficacy in a rat pituitary cell assay, being characterized with EC50 values down to 0.8 nM. Selected compds. were tested in in vivo animal models. The oral bioavailability in dogs was 16-44%. Also, the ED50 values of the compds. were determined both in dog and swine.
- IT 202811-34-5P 202811-36-7P 202811-38-9P 297175-37-2P 297175-40-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and biol. activity of highly potent dipeptidic growth hormone secretagogues with low mol. wts.)

RN 202811-34-5 CAPLUS

CN

Carbamic acid, [2-[2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 202811-36-7 CAPLUS

CN Carbamic acid, [(1R)-2-[[2-[2-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CAINDEX NAME)

Absolute stereochemistry.

RN 202811-38-9 CAPLUS

CN Carbamic acid, [(3E)-5-[[(1R)-2-[[2-[2-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]methylamino]-1,1-dimethyl-5-oxo-3-pentenyl]-,1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-B

RN 297175-37-2 CAPLUS

CN Carbamic acid, [2-[[2-[2-(methylamino)ethyl]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

RN 297175-40-7 CAPLUS

CN Carbamic acid, [2-[[2-[2-[methyl[(2R)-2-(methylamino)-3-(2-naphthalenyl)-1-oxopropyl]amino]ethyl]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:233909 CAPLUS

DN 130:275757

TI Contrasting agent for infarct and necrosis imaging of heart and kidneys

TN Platzek Johannes: Niedballa Ulrich: Raduchel, Bernd: Ebert, Wolfgang:

IN Platzek, Johannes; Niedballa, Ulrich; Raduchel, Bernd; Ebert, Wolfgang;
Weinmann, Hanns-Joachim

PA Schering A.-G., Germany

SO PCT Int. Appl., 112 pp. CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

FAN.	AN.CNT 1 PATENT NO.									APPLICATION NO.								DATE			
PI								WO 1998-EP5184							19980817						
		W:	AL,	AM,	AU,	AZ,	BA,	BB,	BG,	BR,	B:	Y,	CA,	CN,	CU,	CZ,	EE	, GE	, (GΗ,	
			GM,	HR,	HU,	ID,	IL,	IS,	JP,	KE,	, K	G,	KP,	KR,	KZ,	LC,	LK	, LR	,]	LS,	
			LT,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	N(ο,	NZ,	PL,	RO,	RU,	SD	, SG	, :	SI,	
			SK,	SL,	ТJ,	TM,	TR,	TT,	UA,	UG,	. U2	z,	VN,	YU,	ZW						
		RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	F	R,	GB,	GR,	ΙE,	IT,	LU	, MC	, 1	ΝL,	
			PT,	SE																	
															4003						
	DE	1974	4003			A1		1999	0715		DΕ	19	997-	1974	4003			1997	092	26	
	DE	1974	4003			В4		2004	0708												
	CA	1974 1974 2304	458			AA		1999	0408		CA	19	998-	2304	458			1998	081	17	
															4003						
															84						
	AU	9893	428			A1		1999	0423						8			1998			
															4003						
		4045	c			- 1		0000	0510						84						
		1017							0712		EР	Τ?	998-	9463	46			1998	U8.	Ι/	
	EΡ	1017							1120	a D	~ -	,	T (1)	T T	T 11	\ 7.7	аn	Ma	,	οш	
		R:	-		CH,	DE,	DK,	ES,	FR,	GB,	G	≺,	IT,	ъΙ,	ъu,	ип,	5.5	, MC	, 1	РТ,	
			IE,	ΕТ							חבי	1 (207_	1971	4003		7\	1997	nas	26	
															84						
	.TP	2001	5184	71		т2		2001	1016						43			1998			
	01	2001.	5101	, _				2001	1010						4003						
														EP51				1998			
	ΑТ	2281	16			E		2002	1215					9463				1998			
											DE	19	997-	1974	4003		A	1997	092	26	
											WO	19	998-	EP51	84		W	1998	081	L7	
	PT	1017	684			Т		2003	0331		PT	19	998-	9463	46			1998	081	L7	
											DE	19	997-	1974	4003		A	1997	092	26	
	ES	21880	011			Т3		2003	0616					9463				1998	081	L7	
											DE	19	997-	1974	4003		A	1997	092	26	
	US	60834	479			Α		2000	0704					1579				1998			
															4003			1997			
	ИО	20000	0015	56		Α		2000	0523					1556				2000			
															4003						
											WO	19	998-1	EP51	84	1	W	1998	081	L 7	

OS MARPAT 130:275757

AB 1,4,7,10-Tetraazacyclododecane derivs. and their rare earth complexes as novel compds. suitable as contrasting agents, in particular for infarct and necrosis imaging, are disclosed, as well as processes for preparing the same and pharmaceuticals containing these compds. Thus, symdiethylenetriaminepentaacetic acid tetra-tert-Bu ester in presence of N-hydroxysuccimide in DMF was treated with dicylcohexylcardodiimide and subsequently with glycine in presence of Et3N to give 3,9-bis(N-tert-butoxycarbonylmethyl)-6-[N-(3-aza-2-oxo-4-carboxy)butyl]-3,6,9-triazaundecane-1,11-dicarboxylic acid di-tert-Bu ester (I). I was reacted with 1,4,7,10-tetraazacyclododecane in DMF in presence of

2-ethoxy-1-ethoxycarbonyl-1,2-dihydroquinoline to give 1,4,7-tris{3,9-bis(N-tert-butoxycarbonylmethyl)-6-[N-(3-aza-2,5-dioxo)pentan-1,5-diyl]-3,6,9-triazaundecanedicarboxylic di-tert-Bu ester}-1,4,7,10-tetraazacyclododecane which was reacted with hexadecanoic acid in DMF to give 1,4,7-tris{3,9-bis(N-tert-butoxycarbonylmethyl)-6-[N-(3-aza-2,5-dioxo)pentan-1,5-diyl]-3,6,9-triazaundecanedicarboxylic di-tert-Bu ester}-10-[N-n-hexadecanoyl]-1,4,7,10-tetraazacyclododecane (II). II in CF3CO2H reacted with Gd2O3 in presence of NaOH to give after deprotection the Na salt of the Gd complex of the deprotected II.

IT 192636-26-3P 192636-28-5P 222033-44-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(reactant for preparation of rare earth complexes with alkylcarbonyl derivs. of tetraazacyclododecane as MRI contrast agents for myocardial infarction and renal ischemia)

RN 192636-26-3 CAPLUS

CN

Benzoic acid, 3,5-bis[[[[(phenylmethoxy)carbonyl]amino]acetyl]amino](9CI) (CA INDEX NAME)

RN 192636-28-5 CAPLUS

CN Carbamic acid, [1,4,7,10-tetraazacyclododecane-1,4,7-triyltris[carbonyl-5,1,3-benzenetriylbis[imino(2-oxo-2,1-ethanediyl)]]]hexakis-, hexakis(phenylmethyl) ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} ---- & \text{C-} \text{CH}_2 - \text{NH-} \text{C-} \text{O-} \text{CH}_2 - \text{Ph} \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & || \\ || & ||$$

RN 222033-44-5 CAPLUS

CN Carbamic acid, [[10-(1-oxotetradecyl)-1,4,7,10-tetraazacyclododecane-1,4,7-triyl]tris[carbonyl-5,1,3-benzenetriylbis[imino(2-oxo-2,1-ethanediyl)]]]hexakis-, hexakis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1998:268469 CAPLUS
- DN 129:16384
- TI Preparation of novel pyrrolidine derivatives as remedies for infectious diseases
- IN Ohta, Toshiharu; Nakayama, Kiyoshi; Ohtsuka, Masami; Inagaki, Hiroaki; Nishi, Toshiyuki; Ishida, Yohhei
- PA Daiichi Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 164 pp. CODEN: PIXXD2
- DT Patent
- LA Japanese
- FAN.CNT 1

PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
ΡI	WO	9817	 625			A1	-	 1998	0430	,	wo 1	 997-	 JP38	 12		1	 9971	022
		W:	AL,	ΑU,	BA,	BB,	BG,	BR,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,	ID,	IL,	IS,
			JP,	KR,	LC,	LK,	LR,	LT,	LV,	MG,	MK,	MN,	MX,	NO,	NZ,	PL,	RO,	SG,
			SI,	SK,	SL,	TR,	TΤ,	UA,	US,	UZ,	VN,	YU,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,
			RU,	ТJ,	TM													
		RW:	GH,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	ΒE,	CH,	DE,	DK,	ES,	FI,	FR,
			GB,	GR,	ΙE,	IT,	LU,	MC,	ΝL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,
			GN,	ML,	MR,	NE,	SN,	TD,	TG									
										,	JP 1	996-	2791	72		1:	9961	022
											JP 1	996-	2872	03		19	9961	030
	AU	9747	221			A1		1998	0515	_	_	997-		-		1	9971	022
											JP 1	996-	2791	72			9961	
												996-					9961	
										1	WO 1:	997-	JP38:	12		19	9971	022

OS MARPAT 129:16384

AB Novel compds. (I; R1-R3 = substituents in the cyclic structure, such as a pyrrolidine or a benzene ring; A = hydrocarbon or heterocyclo ring) are prepared I act on pathogenic microorganisms which have acquired tolerance to the existing antimicrobials and elevate the sensitivity to the antimicrobials, thus making them nontolerant. When used together with the

antimicrobials, I can efficaciously establish the prevention and treatment of microbial infectious diseases. Thus, compound (II; X = tert-BuCO, Y = N3) (preparation given) was hydrogenated over Pd/C to give 95% the title compound

II.2HCl (X = H, Y = NH2), which was tested and showed inhibitory activity against PAM1001.

IT 207305-10-0P 207305-14-4P 207305-15-5P

207305-17-7P 207305-18-8P 207305-26-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel pyrrolidine derivs. as remedies for infectious diseases)

RN 207305-10-0 CAPLUS

CN Carbamic acid, [2-[[3-[[(2,2-diphenylethyl)amino]carbonyl]-5-[[(2S)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207305-14-4 CAPLUS

CN Carbamic acid, [2-oxo-2-[[5-[[(2S)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-3-[[(3phenylpropyl)amino]carbonyl]phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207305-15-5 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[[3-[[1-oxo-3-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-5-[[(3-phenylpropyl)amino]carbonyl]phenyl]amino]-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207305-17-7 CAPLUS

CN Carbamic acid, [2-oxo-2-[[5-[[(2S)-1-oxo-4-phenyl-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-3-[[(3phenylpropyl)amino]carbonyl]phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207305-18-8 CAPLUS

CN Carbamic acid, [3-oxo-3-[[3-[[(2S)-1-oxo-4-phenyl-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-5-[[(3phenylpropyl)amino]carbonyl]phenyl]amino]propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207305-26-8 CAPLUS

CN Carbamic acid, [2-[[4-[[(2,2-diphenylethyl)amino]carbonyl]-3-[[(2S)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:87706 CAPLUS

DN 128:154388

TI Preparation of peptide analogs with growth hormone releasing properties

IN Peschke, Bernd; Ankersen, Michael; Hansen, Thomas Kruse; Thogersen, Henning

PA Novo Nordisk A/S, Den.; Peschke, Bernd; Ankersen, Michael; Hansen, Thomas Kruse; Thogersen, Henning

SO PCT Int. Appl., 178 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	01.1						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	WO 9803473	A1	19980129	WO 1997-DK314	19970717		
	W: AL, AM, AT,	AU, AZ	, BA, BB, BG,	BR, BY, CA, CH, CN,	CU, CZ, DE,		

```
DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,
        LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
        PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US,
        UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
    RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
        GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
        GN, ML, MR, NE, SN, TD, TG
                                        DK 1996-803
                                                            A 19960722
AU 9734346
                     A1
                            19980210
                                        AU 1997-34346
                                                               19970717
                                        DK 1996-803
                                                               19960722
                                                            Α
                                        WO 1997-DK314
                                                            W
                                                               19970717
EP 923539
                     A1
                            19990623
                                        EP 1997-930368
                                                               19970717
EP 923539
                            20020605
                     B1
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, FI
                                        DK 1996-803
                                                              19960722
                                                            Α
                                        WO 1997-DK314
                                                               19970717
US 5922770
                            19990713
                                        US 1997-896550
                                                               19970717
                                        DK 1996-803
                                                              19960722
                                                            Α
JP 2000515517
                     T2
                           20001121
                                        JP 1998-506465
                                                               19970717
                                        DK 1996-803
                                                               19960722
                                        WO 1997-DK314
                                                               19970717
EP 1184370
                     A2
                                        EP 2001-123155
                           20020306
                                                               19970717
EP 1184370
                     Α3
                           20020327
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, FI
                                        DK 1996-803
                                                            A 19960722
                                        EP 1997-930368
                                                            A3 19970717
AT 218537
                     Ε
                           20020615
                                        AT 1997-930368
                                                               19970717
                                        DK 1996-803
                                                            A 19960722
                                       WO 1997-DK314
                                                            W 19970717
ZA 9706371
                           19980122
                     Α
                                        ZA 1997-6371
                                                               19970718
                                       DK 1996-803
                                                            A 19960722
US 6127354
                           20001003
                                       US 1999-270862
                                                               19990317
                                       DK 1996-803
                                                            A 19960722
                                                            A3 19970717
                                       US 1997-896550
US 6274584
                     В1
                           20010814
                                       US 2000-619227
                                                               20000719
                                       DK 1996-803
                                                            A 19960722
                                       US 1997-896550
                                                            A3 19970717
                                       US 1999-270862
                                                            A3 19990317
```

os MARPAT 128:154388

The present invention relates to novel peptide analogs of general formula I [A = X-A1; X = alkylene chain optionally substituted and/or optionallycontaining O, S, or C:C double bond; A1 = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, aryl, C1-6 alkoxy, CONR39R40, (CH2)pNR39SO2R41, (CH2)pNR39COR40, (CH2)pOR41, (CH2)pO2CR40, CHR39R40, CONR39NR40R42, (CH2)pNR39CSNR40R42, (CH2)pNR39CONR40R42; R39, R40 = independently H, (un)substituted C1-6 alkyl, etc.; R41 = aryl-substituted C1-6 alkyl; R42 = C1-6 alkyl; L1, L2 = independently CR57, N; R57 = H, C1-6 alkyl (un)substituted with OH, halo, C1-6 alkoxy, aryl; D, E = independently H, alkoxy, aryl, heteroaryl; R1 = H, C1-6 alkyl; R2 = H, acyl, C1-6 alkyl; R1R2 may form alkylene bridge; R3, R4 = independently H, (un) substituted C1-6 alkyl; R3R4 = O, S; n, m, p = independently 0-3] pharmaceutical compns. containing them, a method of stimulating the release of growth hormone from the pituitary, a method for increasing the rate and extent of growth of animals to increase their milk and wool production, or for the treatment of ailments, and to use of the compds. for the preparation of medicaments. Thus, peptidomimetic II was prepared

AΒ

by standard reactions from (R)-2-[N-tert-butoxycarbonyl-N-methylamino]-3-(2-naphthyl)propionic acid, N-methyl-N-phenethylamine, and (E)-5-(tert-butoxycarbonylamino)-5-methylhex-2-enoic acid. II and related peptide analogs were tested for growth hormone release in rat pituitary primary cultures in doses ranging from 10 pM to 100 mM. The prepared compds. were also tested for metabolic stability.

IT 202811-34-5P 202811-35-6P 202811-36-7P 202811-37-8P 202811-38-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptide analogs with growth hormone releasing properties) RN 202811-34-5 CAPLUS

Carbamic acid, [2-[2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

CN

RN 202811-35-6 CAPLUS

CN Carbamic acid, [2-[[2-[2-(methylamino)ethyl]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

RN 202811-36-7 CAPLUS

CN Carbamic acid, [(1R)-2-[[2-[2-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202811-37-8 CAPLUS

CN Carbamic acid, [2-[[2-[2-[methyl[2-(methylamino)-3-(2-naphthalenyl)-1-oxopropyl]amino]ethyl]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethylester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202811-38-9 CAPLUS

CN Carbamic acid, [(3E)-5-[[(1R)-2-[[2-[2-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]methylamino]-1,1-dimethyl-5-oxo-3-pentenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN L4

1997:500179 CAPLUS AN

DN 127:122137

TINitrogen-containing cascade polymer transition metal complexes and their manufacture and use in pharmaceuticals and diagnostic agents

Schmitt-Willich, Heribert; Platzek, Johannes; Raduechel, Bernd; Weinmann, IN Hanns joachim; Ebert, Wolfgang; Misselwitz, Bernd; Muehler, Andreas; Frenzel, Thomas

PΑ Schering A.-G., Germany

SO Ger. Offen., 51 pp. CODEN: GWXXBX

DTPatent

German LΑ

FAN.		1 FENT	NO.			KIN		DATE	;	APPLICATION NO. DATE	
PI		1954				A1				DE 1995-19549286 19951222	
	CA	2241	187			AA		1997	0703	CA 1996-2241187 19961129 DE 1995-19549286 A 19951222	
	WO	9723	245			A1		1997	0703	WO 1996-EP5315 19961129	
										KR, MX, NO, NZ, PL, RU, SK, UA, US,	VN
		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR, GB, GR, IE, IT, LU, MC, NL, PT,	SE
										DE 1995-19549286 A 19951222	
		9710						1997	0717	AU 1997-10328 19961129	
	AU	7260	34			В2		2000	1026		
										DE 1995-19549286 A 19951222	
										WO 1996-EP5315 W 19961129	
	EΡ	8682	02			A 1		1998	1007	EP 1996-941055 19961129	
	EΡ	8682	02			В1		2002	0828		
		R:	AT, IE,		CH,	DE,	DK,	ES,	FR,	GB, GR, IT, LI, LU, NL, SE, MC, PT,	
										DE 1995-19549286 A 19951222	
										WO 1996-EP5315 W 19961129	
	JΡ	2000	51088	30		Т2		2000	0822	JP 1997-523251 19961129	
										DE 1995-19549286 A 19951222	
										WO 1996-EP5315 W 19961129	

AT	222776	E	20020915	AT DE	1996-941055 1995-19549286	Α	19961129 19951222
				WO	1996-EP5315	W	19961129
RU	2197495	C2	20030127	RU	1998-113782	••	19961129
				DE	1995-19549286	А	19951222
				WO	1996-EP5315	W	19961129
PT	868202	T	20030131	PT	1996-941055		19961129
				DE	1995-19549286	Α	19951222
ES	2181924	Т3	20030301	ES	1996-941055		19961129
				DE	1995-19549286	Α	19951222
SK	283334	B6	20030603	SK	1998-854		19961129
				DE	1995-19549286	Α	19951222
				WO	1996-EP5315	W	19961129
zA	9610822	A	19970627	ZΑ	1996-10822		19961220
				DE	1995-19549286	Α	19951222
US	5874061	A	19990223	US	1996- 77 7666		19961220
					1995-19549286	Α	19951222
TW	520377	В	20030211	TW	1996-85115801		19961220
				DΕ	1995-19549286	А	19951222
US	6057419	A	20000502		1998-77773		19980604
				DΕ	1995-19549286	Α	19951222
					1996-EP5315	W	19961129
BG	63105	В1	20010430		1998-102565		19980619
					1995-19549286	Α	19951222
					1996-EP5315	W	19961129
ИО	9802903	A	19980622		1998-2903		19980622
	•				1995-19549286	Α	19951222
		_			1996-EP5315	W	19961129
	744292	B2	20020221	AU	2000-55021		20000830
AU	2000055021	A5	20001109				
				DΕ	1995-19549286	Α	19951222

Complexes containing (a) A[X[Y[Z(WKw)z]y]x]a ligands (A = N-containing cascade AΒ polymer core with a branching degree, X, Y = direct bond or repeating unit with branching degree x, y, resp., Z, W = repeating unit with branching degree z, w, resp., K = complex formers, a = 2-12, x, y, z, w = 1-4, \geq 2 repeating units being different, 16 \leq axyzw \leq 64, and ≥1 of X, Y, Z, W being a 1,4,7,10-tetraazacyclododecane or 1,4,8,11-tetraazacyclotetradecane repeating unit), (b) \geq 16 ions of metals with atom. nos. 20-29, 39, 42, 44, or 57-83, (c) optionally, an cation of (in)organic base, amino acid, or amino amide, and (d) optionally, acylated terminal amino group are are manufactured for use as pharmaceuticals and contrast agents in NMR tomog. and radiog. A typical complex was manufactured by reaction of HBr with benzyloxycarbonyl-blocked 36mer cascade polyamine prepared from N,N,N',N',N'',N''-hexakis(2-aminoethyl)trimesic acid core and 6 1-[5-(4-nitrophenoxy)-3-oxaglutaryl]-4,7,10-tris(N,N'dibenzyloxycarbonyllysyl)-1,4,7,10-tetraazacyclododecane, reaction of the resulting 36-mer amine hydrobromide with 1-(3-aza-4-carboxy-2-oxobutyl)-4,7,10-tris(tert-butoxycarbonylmethyl)-1,4,7,10-tetraazacyclododecane, and complexation of the Na salt of the resulting ligand with Gd203.

IT 192636-26-3P 192636-27-4P 192636-28-5P 192636-29-6P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(cascade polymer precursor; nitrogen-containing cascade polymer transition metal complexes and their manufacture and use in pharmaceuticals and diagnostic agents)

RN 192636-26-3 CAPLUS

CN Benzoic acid, 3,5-bis[[[[(phenylmethoxy)carbonyl]amino]acetyl]amino]- (9CI) (CA INDEX NAME)

RN 192636-27-4 CAPLUS

CN Carbamic acid, [[5-[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]-1,3-phenylene]bis[imino(2-oxo-2,1-ethanediyl)]]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 192636-28-5 CAPLUS

CN Carbamic acid, [1,4,7,10-tetraazacyclododecane-1,4,7-triyltris[carbonyl-5,1,3-benzenetriylbis[imino(2-oxo-2,1-ethanediyl)]]]hexakis-, hexakis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN

192636-29-6 CAPLUS
Acetic acid, [2-oxo-2-[4,7,10-tris[3,5-bis[[[[(phenylmethoxy)carbonyl]amin CN o]acetyl]amino]benzoyl]-1,4,7,10-tetraazacyclododec-1-yl]ethoxy]- (9CI) (CA INDEX NAME)

IT 192636-30-9P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(complexing cascade polymer precursor; nitrogen-containing cascade polymer transition metal complexes and their manufacture and use in pharmaceuticals and diagnostic agents)

RN

192636-30-9 CAPLUS
Acetic acid, [2-oxo-2-[4,7,10-tris[3,5-bis[[[[(phenylmethoxy)carbonyl]amin CN o]acetyl]amino]benzoyl]-1,4,7,10-tetraazacyclododec-1-yl]ethoxy]-, polymer with N,N,N',N'',N''-hexakis (2-aminoethyl)-1,3,5-benzenetricarboxamide hydrobromide (9CI) (CA INDEX NAME)

CM1

CRN 192636-29-6 CMF C93 H96 N16 025

CM 2

CRN 192635-87-3 CMF C21 H39 N9 O3 . x Br H

•x HBr

IT 192636-31-0DP, gadolinium complexes

RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(nitrogen-containing cascade polymer transition metal complexes and their manufacture and use in pharmaceuticals and diagnostic agents)

RN 192636-31-0 CAPLUS

Sodium(1+), [tris(1,1-dimethylethyl) 10-[1-methyl-2-[[2-(4-nitrophenoxy)-2-oxoethyl]amino]-2-oxoethyl]-1,4,7,10-tetraazacyclododecane-1,4,7-triacetate-kN1,kN4,kN7,kN10]-, bromide, polymer with N,N,N',N',N'',N''-hexakis(2-aminoethyl)-1,3,5-benzenetricarboxamide hydrobromide and [2-oxo-2-[4,7,10-tris[3,5-bis[[[[(phenylmethoxy)carbonyl]amino]acetyl]amino]benzoyl]-1,4,7,10-tetraazacyclododec-1-yl]ethoxy]acetic acid (9CI) (CA INDEX NAME)

CM 1

CRN 192636-29-6 CMF C93 H96 N16 O25

PAGE 1-B

CM 2

CRN 192636-00-3

CMF C37 H60 N6 Na O11 . Br

CCI CCS

● Br-

CM 3

CRN 192635-87-3 CMF C21 H39 N9 O3 . x Br H

●x HBr

L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:32622 CAPLUS

DN 122:31918

TI Structure-activity relationships of double-strand RGD peptides as GPIIb/IIIa receptor antagonists

AU Ojima, Iwao; Dong, Qing; Eguchi, Masakatsu; Oh, Young-im; Amann, Clare M.; Coller, Barry S.

CS School. Medicine, State University New York, Stony Brook, NY, 11794, USA

SO Bioorganic & Medicinal Chemistry Letters (1994), 4(14), 1749-54 CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

LA English

AB A series of new double-strand RGD peptides M(CO-Arg-Gly-Asp-Phe-OH)2 [M = (CH2)n, p-C6H4, n = 2-4] and (R-Arg-Gly-Asp-Phe-NH)2XZ [R = H, Me(CH2)4CO, Bz, 4-[HN:C(NH2)NH]C6H4CO-Ser; X = Lys, Orn, cis,cis-3,5-diaminocyclohexanecarbonyl, 3,5-(Gly-NH)2C6H3CO; Z = NH2, Gly-Arg-Gly-Asp-Phe-NH2, Arg-Gly-Asp-Phe-OH] were prepared and their inhibitory activities evaluated for platelet aggregation. Substantial

improvement in activity is observed with these novel RGD peptides in comparison with single-strand RGD peptides. The structure-activity relationships of these double-strand RGD peptides are discussed.

IT 159581-70-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, deblocking, and peptide coupling of, with protected arginylglycylaspartic acid peptides)

RN 159581-70-1 CAPLUS

CN Benzoic acid, 3,5-bis[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:58986 CAPLUS

DN 116:58986

TI Preparation of anilide derivatives for determination of enzymes

IN Hamada, Yoshio; Tejima, Shinichi; Hanyu, Tsuneo

PA Toyobo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

I.VII.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PΙ	JP 03157353	A2	19910705	JP 1989-296592	19891115		
	JP 07051550	B4	19950605				
				JP 1989-296592	19891115		

OS MARPAT 116:58986

AB Anilides derivs. [I; R1 = amino acid or peptide residue containing 2-4 amino acid; R2 = C1-3 alkyl; R3 = C1-5 alkyl; X = organic or inorg. acid residue; X1-X4 = H, alkyl, aryl, halo, NO2, CO2H; n = 1-6], useful in determining

leucine

aminopeptidase and γ -glutamyl transpeptidase (δ -GTP) for diagnosis purposes, are prepared Refluxing a mixture of p-FC6H4NO2, Me2NCH2CH2NHEt, and K2CO3 in DMF gave 86.8% p-O2NC6H4N(CH2CH2NMe2)Et, which was reduced with SnCl4 in HCl and EtOH to give 95% p-H2NC6H4N(CH2CH2NMe2)Et (II). Reaction of II with Z-Glu-OBzl, Et3N, and ClCO2CH2CHMe2 in THF gave 62.3% anilide III, which was quaternized with MeI to give 98% III.MeI. The quaternary ammonium salt was treated with CF3CO2H, CF3SO3SiMe3, m-cresol, and PhSMe to give a synthetic substrate for determination of γ -GTP.

IT 137214-52-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and quaternization of)

RN 137214-52-9 CAPLUS

CN Carbamic acid, [4-[(aminoiminomethyl)amino]-1-[[[4-[[2-(dimethylamino)ethyl]ethylamino]phenyl]amino]carbonyl]butyl]-, (4-methoxyphenyl)methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 137214-57-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and quaternization of, with Me iodide)

RN 137214-57-4 CAPLUS

CN Carbamic acid, [1-[[[4-[[2-(dimethylamino)ethyl]ethylamino]phenyl]amino]ca rbonyl]-3-methylbutyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 137214-58-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and salt formation of, with trifluoroacidic acid)

RN 137214-58-5 CAPLUS

CN Ethanaminium, 2-[ethyl[4-[[4-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amin o]pentyl]amino]phenyl]amino]-N,N,N-trimethyl-, iodide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• I-

L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1975:86615 CAPLUS

DN 82:86615

TI Intramolecular rearrangements in peptide derivatives of anthranilic acid

AU Noguchi, Junzo; Kawai, Megumi; Hamada, Masato

CS Fac. Sci., Hokkaido Univ., Sapporo, Japan

SO Israel Journal of Chemistry (1974), 12(1-2), 87-101 CODEN: ISJCAT; ISSN: 0021-2148

DT Journal

LA English

The peptidylanthranilic acid ester is stable during peptide coupling. However, the amide bond of peptidylanthranilic acid is catalytically hydrolyzed at pH 7. In this reaction, no decomposition or significant racemization of peptide was observed and the protected peptide was easily obtained. Only glycylanthraniloyl derivs. rearranged into peptide and anthranilic acid in aqueous solution

IT 55301-22-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 55301-22-9 CAPLUS

CN Carbamic acid, [2-oxo-2-[[2-[[[2-[[(phenylmethoxy)carbonyl]amino]ethyl]amino]carbonyl]phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

=>